

TMC125



Drug Description

TMC125 is a di-aryl-pyrimidine derivative with potent in vitro activity against HIV. [1]

HIV/AIDS-Related Uses

TMC125 is a nonnucleoside reverse transcriptase inhibitor (NNRTI) that is being investigated for the treatment of HIV. In vitro, TMC125 has equipotent activity against wild-type HIV and NNRTI-resistant variants encoding L100I, K103N, Y181C, Y188L, and G190A/S mutations.[2]

Pharmacology

Monotherapy with TMC125 was evaluated in 18 treatment naive HIV infected patients for 7 days. Reductions in viral load ranged from 1.1 log₁₀ to 3.4 log₁₀. Viral load fell below 400 copies/ml in 8 of 12 patients receiving TMC125. Pharmacokinetic steady state was reached on Day 4.

In an open-label Phase IIa study of 16 HIV infected men with documented efavirenz resistance, treatment with TMC125 for 7 days resulted in a median decrease in viral load of 0.9 log₁₀. Seven patients (44%) had a viral load decrease greater than 1.0 log₁₀. There was no relationship between response and geno/phenotype.[3] [4]

Adverse Events/Toxicity

In early clinical trials, TMC125 has been safe and well tolerated. Adverse effects have been mild and include somnolence, headache, and diarrhea.[5] [6]

Clinical Trials

For information on clinical trials that involve TMC125, visit the ClinicalTrials.gov web site at <http://www.clinicaltrials.gov>. In the Search box, enter: TMC125 AND HIV Infections.

Other Names

R165335[7]

Further Reading

Udier-Blagovic M, Tirado-Rives J, Jorgensen WL. Validation of a model for the complex of HIV-1 reverse transcriptase with nonnucleoside inhibitor TMC125. J Am Chem Soc. 2003 May 21;125(20):6016-7.

Stephenson J. Researchers explore new anti-HIV agents. JAMA. 2002 Apr 3;287(13):1635-7.

Manufacturer Information

TMC125
Tibotec
Gen de Wittelaan L 11B 3
2800 Mechelen
Belgium

For More Information

Contact your doctor or an AIDSinfo Health Information Specialist:

- Via Phone: 1-800-448-0440 Monday - Friday, 12:00 p.m. (Noon) - 5:00 p.m. ET
- Via Live Help: http://aidsinfo.nih.gov/live_help Monday - Friday, 12:00 p.m. (Noon) - 4:00 p.m. ET

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References

1. Tibotec - Available at <http://www.tibotec.com>. Accessed 11/3/03.
2. Conf Retroviruses Opportunistic Infect. - 9th. 2002. Abstract 4.
3. Interscience Conf on Antimicrobial Agents and Chemotherapy - TMC125 7d POP study. Available at <http://www.tibotec.com>. Accessed 11/3/03.
4. Conf Retroviruses Opportunistic Infect. - 9th. 2002. Abstract 4.
5. Interscience Conf on Antimicrobial Agents and Chemotherapy - TMC125 7d POP study. Available at <http://www.tibotec.com>. Accessed 11/3/03.
6. Conf Retroviruses Opportunistic Infect. - 9th. 2002. Abstract 4.
7. Conf Retroviruses Opportunistic Infect. - 9th. 2002. Abstract 4.